Listing of Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

1-46. (Cancelled).

47. (Withdrawn-Currently Amended) Library comprising a plurality of tagged ligands of formula I

$$(Lig\ J_L)_m\ \ L\ (J_T\ Tag)_m(J_T\ L\ (J_L\ Lig)_m)_p$$

and salts thereof wherein any optically active fluorescent ligand is present as a racemate or as one of its optically active isomers

comprising one or a plurality of same or different ligand moieties Lig each linked to one or a plurality of same or different tag moieties Tag via same or different linker moieties L and same or different linking site or linking functionality J_T and J_L,

wherein Lig is a ligand selected from a non-peptide GPCR ligand agonist and a non-peptide GPCR ligand antagonist, wherein the Lig comprises pharmacological activity as an agonist or antagonist for GPCR receptor binding and activation or inhibition,

L is selected from a saturated or unsaturated single or double bond, -O-, -S-, amine, COO-, amide, -NN- hydrazine; and saturated or unsaturated, substituted or unsubstituted $C_{1\text{-}600}$ branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, wherein optional substituents are selected from any C_1.

$_{20}$ aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo, cyano and carbonyl and combinations thereof, and L is monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300;

Tag is any tagging substrate;

m are each independently selected from a whole number integer from 1 to 3;

p is 0 to 3

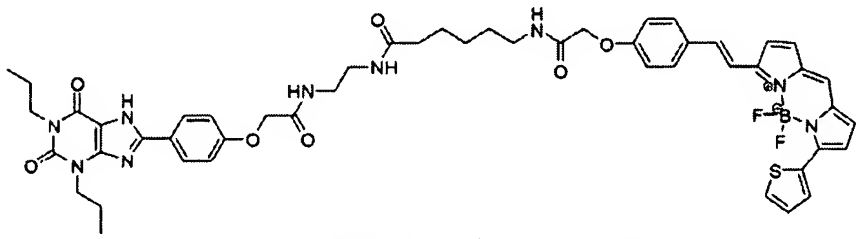
wherein one or more of each -Tag in one or more or each library compound is a fluorophore entity -Fl, whereby the library comprises compounds of which one or more or all of which are of formula I'

$$(LigJ_L)_m \quad L\,(J_T\,Fl)_m(J_TL(J_LLig)_m)_p$$

characterised in that linking is at same or different linking sites in compounds comprising different Lig, J_L, L J_T and/or – Tag and is at different linking sites in compounds comprising same Lig, J_L, L J_T and/or – Tag

wherein the or each Fl is selected from 4,4-difluoro-4-bora-3a,4a-diaz-s-indacene dyes, and includes a substituent –t- which is a heteroaryl or alkenyl group which performs a fluorescence modifying function which shifts the fluorescence to the red part of the spectrum and raises the absorption max value

and the compound of formula I or I' retains pharmacological activity as a fluorescent GPCR ligand agonist or fluorescent GPCR ligand antagonist or GPCR receptor binding and activation or inhibition, with the proviso that the tagged ligand is not:



XAC – BODIPY 630/650 X

~~a) when Lig is XAC (8-[4-[(2-aminoethyl)-aminocarbonylmethyloxy]phenyl]-1,3-dipropylxanthine, whereby in Lig.a when each of R.a^1 and R.a^2 is propyl, R.a^3 is H and R.a^4 is -Ph-$OCH_2CONH(CH_2)_2NH$-, and L is a single bond) Fl is not BODIPY™ 630/650 (6-(((4,4-difluoro-5-(2-thienyl)-4-bora-3a,4a-diaza-s-indacene-3-yl)styryloxy)acetyl)aminohexanoyl).~~

48. (Withdrawn) Library comprising a plurality of tagged ligands of formula I

$$(Lig\,J_L)_m\;\; L(J_T\text{-}Tag)_m(J_T\text{-}L(J_L\text{-}Lig)_m)_p$$

and salts thereof wherein any optically active fluorescent ligand is present as a racemate or as one of its optically active isomers

comprising one or a plurality of same or different ligand moieties Lig each linked to one or a plurality of same or different tag moieties Tag via same or different linker moieties L and same or different linking site or linking functionality J_T and J_L

wherein Lig is a ligand selected from a non-peptide GPCR ligand agonist and a non-peptide

GPCR ligand antagonist, wherein the Lig comprises pharmacological activity as an agonist or antagonist for GPCR receptor binding and activation or inhibition,

L is selected from a saturated or unsaturated single or double bond, -O-, -S-, amine, COO-, amide, -NN- hydrazine; and saturated or unsaturated, substituted or unsubstituted C_{1-600} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, wherein optional substituents are selected from any C_{1-20} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo, cyano and carbonyl and combinations thereof, and L is monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300;

Tag is any tagging substrate;

m are each independently selected from a whole number integer from 1 to 3;

p is 0 to 3

wherein one or more of each -Tag in one or more or each library compound is a fluorophore entity -Fl, whereby the library comprises compounds of which one or more or all of which are of formula I'

$$(LigJ_L)_m\ L(J_T\ Fl)_m(J_TL(J_LLig)_m)_p$$

characterised in that linking is at same or different linking sites in compounds comprising different Lig, J_L, L J_T and/or – Tag and is at different linking sites in compounds comprising

same Lig, J_L, L J_T and/or – Tag,

wherein the or each Fl is selected from 4,4-difluoro-4-bora-3a,4a-diaz-s-indacene dyes, and includes a substituent –t- which is a heteroaryl or alkenyl group which performs a fluorescence modifying function which shifts the fluorescence to the red part of the spectrum and raises the absorption max value

and the compound of formula I or I' retains pharmacological activity as a fluorescent GPCR ligand agonist or fluorescent GPCR ligand antagonist or GPCR receptor binding and activation or inhibition.

49. (Withdrawn) Library as claimed in Claim 47 wherein each compound of formula I or I' comprises one of a plurality of fluorophores and/or tags providing a library of differently fluorescently tagged ligands comprising one or a number of different fluorophores optionally of different chemical composition or spectral characteristics; and/or providing a library of differently tagged ligands including at least one fluorescently tagged ligand; alternatively each compound of formula I or I' comprises one of a plurality of precursor ligands linked each to one or a plurality of different tags providing a library of same or differently tagged ligands of plural ligand type; alternatively each compound of formula I comprises one of a plurality of linkers linking a precursor ligand and at least one Tag at the same or different linking site; alternatively each compound of formula I or I' comprises the same linker linking a precursor ligand and at least one Tag at different linking sites providing a library of differently linked tagged ligands of different conformation or anticipated pharmacology and binding.

50. (Withdrawn) Library as claimed in Claim 47 comprising a plurality of compounds of one or more of formula II to III:

II $(LigJ_L)_m$ L J_T $TagJ_T$ L $(J_L$ $Lig)_m$ where each m is as hereinbefore defined and is preferably 1 or 2, more preferably 1

III $(LigJ_L)_m$ L $(J_TTag)_m$ wherein each m is as hereinbefore defined and is preferably 1 and/or 2, more preferably

Lig J_L – L – J_L Tag and/or

Lig J_L – L – J_T Tag and/or Lig J_L – L – J_T Tag

$\diagdown J_L$ Lig $\diagdown J_T$ Tag

wherein each J_L and J_T comprises J as hereinbefore defined and may be same or different and may derive from functionality originally present in Lig or L and Tag or L or a combination thereof, characterised in that linking is at same or different linking sites in compounds comprising different Lig, J_L, L, J_T and/or Tag, and is at different linking sites in the case of any two or more compounds comprising identical Lig, J_L, L, J_T and/or Tag.

51. (Withdrawn) Library as claimed in Claim 47 wherein each compound of formula I has verified pharmacology for binding to or inhibition of a GPCR receptor including designation as agonist or antagonist and measure of affinity or inhibition, enabling quantification of results.

52. (Withdrawn) Library as claimed in Claim 47 wherein Lig is selected from any compound which is effective as an agonist or antagonist for an adenosine receptor, a beta-adrenoceptor, a muscarinic receptor, a histamine receptor, an opiate receptor, a cannabinoid receptor, a chemokine receptor, an alpha-adrenoceptor, a GABA receptor, a prostanoid receptor, a 5-HT (serotonin) receptor, an excitatory aminoacid receptor (glutamate), a dopamine receptor, a protease-activating receptor, a neurokinin receptor, an angiotensin receptor, an oxytocin receptor, a leukotriene receptor, a nucleotide receptor (purines and pyrimidines), a calcium-sensing receptor, a thyroid-stimulating hormone receptor, a neurotensin receptor, a vasopressin receptor, an olfactory receptor, a nucleobase receptor (adenosine), a lysophosphatidic acid receptor, a sphingolipid receptor, a tyramine receptor (trace amines), a free-fatty acid receptor and a cyclic nucleotide receptor;

or wherein Lig is selected from

a) xanthine like structures including theophylline, caffeine, theobromine, dyphilline, enprofylline; or fused biaryl structures including papaverine, dihydroquinilones, cilostamide, dipyridamole or vinpocetine; and analogues thereof;

b) adenosine like structures including ADAC, NECA and analogues thereof;

c) ethanolamine like structures including salmeterol, salbutamol, terbutaline, quinprenaline, labetalol, sotalol, bambuterol, fenoterol, reprotolol, tulobuterol, clenbuterol and analogues thereof;

d) oxypropanolamine like structures including CGP12177, propranolol, practolol, acebutalol, betaxolol, ICI 118551, alprenolol, celiprolol (celectol), metoprolol (betaloc),

CGP20712A, atenolol, bisoprolol, misaprolol, carvedilol, bucindolol, esmolol, nadolol, nebivolol, oxprenolol, xamoterol, pindolol, timolol and analogues thereof;

e) xanthine like structures including XAC, theophylline, caffeine, theobromine, dyphilline, enprofylline, sildenafil, EHNA (erythro-9-(2-hydroxyl-3-nonyl)adenine), zaprinast; or spiro bicyclic structures including bypyridines, amrinone; imidazolines, CI930; dihydropyridazinones, indolan, rolipram, SB207499; or fused biaryl structures including papaverine, dihydroquinilones, cilostamide, dipyridamole, vinpocetine and analogues thereof.

53. (Withdrawn) Library as claimed in Claim 47 wherein J_{Lm} L J_{Tm} comprises a mono, di, tri, tetra, penta, or hexa amino, alkylthio, alkoxy, carboxylic acid, and combinations thereof including a mono, di or tri aminoalkylthio, amino alkoxy, alkoxy carboxylic acid or alkoxy amine, mono, di or tri amino menthane, amino ethane, thio ethane, ethane, amino acyl, polypeptide, or mono or polyether derivatives including diamine or dithio derivatives, mono or polyethylene glycol di or tri amine or thio;

or comprises a mono-, di-, tri- or tetra, penta or hexafunctional linear or branched or cyclic substituted or unsubstituted hydrocarbyl of formula –L.I-

$J[A]q_L R_L[A'q_L J']_p A''q_L J''$

wherein each of J to J'' is a linking site or functionality as hereinbefore defined independently selected from a single or double bond, methylene, alkyne, alkene, NR, O, CONR, NRCO, S, CO, NCO, CHHal and P wherein R is H or C_{1-8} alkyl or cycloalkyl or forms part of a cyclic

ring with N, Hal is any halogen selected from chlorine, iodine, bromine; and is present in any rational location in a group A to A'';

each of A to A '' is a group selected from –O-, -C(=O)-, C_{1-12} alkoxy, alkoyl, cycloalkyl, heterocyclic, alkyl, alkenyl, aryl, arylamide, arylamine, amino, thioalkyl, heteroaryl as hereinbefore defined and combinations thereof, optionally substituted by groups selected independently from C_{1-3} alkyl and C_{1-5} alkoxy;

each of q_L to q_L'' are independently-selected from 0 or 1 or indicates an oligomeric repeat and is from 2 to 30, or indicates a polymeric repeat unit and is from 31 up to 300.

R_L is a C, N or S atom or is a $CR_{L'}$, $NR_{L'}$, alkyl, cycloalkyl, heterocyclic, aryl heteroaryl, amine or thio moiety and provides for branching when p is 1 or 2; wherein $R_{L'}$ is H or C_{1-3} alkyl; and

p is as hereinbefore defined and is 0, 1 or 2.

54. (Withdrawn) Library as claimed in Claim 47 wherein J_{Lm} L J_{Tm} is of formula

J Aq_L R_L J''

wherein each of J and J'' is amine or –O-, A is CH_2CH_2O, q_L is 1-30 or 31 to 300 and R_L is CH_2CH_2

or of formula

J Aq_L R_L(A'J') J''

wherein each of J, J' and J'' independently is amine, –O or a single bond, q_L is 1, 2 or 3 -30 or 31 to 300 and A is CH_2CH_2O or $HNCH_2CO$ or q_L is 1 and A is C(O) or $(CH_2)_{1-8}$ or q_L is 0, R_L is

CH or CH_2CH, $q_{L'}$ is 0 or $q_{L'}$ is 1 and A' is CH_2 and $q_{L''}$ is 0

preferably

$O(CH_2CH_2O)q_{L'}CH_2CH_2NH$, $O(CH_2CH_2O)q_{L'}CH_2CH(CH_2NH)NH$,

$OCH(CH_2NH)NH$, -$CH(CH_2NH)NH$, -C(O) NH-, $-(CH_2)_{1-8}-$ or $(-HNCH_2CO-)_{1-3}$ (= $-gly_{1-3}-$) -.

55. (Withdrawn) Library as claimed in Claim 47 wherein each compound of formula I or I' comprises a moiety Lig and L as hereinbelow defined:

Wherein:

any optically active fluorescent ligand is present as a racemate or as one of its optically active isomers

$Lig.a_m$ is suitably of the formula, in either of the following forms given, including any of its possible linking configurations or sites:

$Lig.a'_m$

Wherein at least one or all of Ra^1 to Ra^4, X^1 and X^2 comprise a linking site or functionality J as hereinbefore defined

X^1 and X^2 are each independently selected from H, O, OR.a, NR.a, NHR.a;

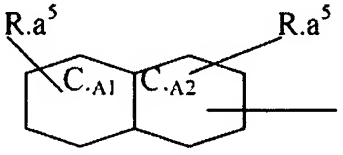
X^1 and X^2 are each preferably O;

each of $R.a^1$, $R.a^2$, $R.a^3$ and $R.a^4$ independently is selected from H or C_{1-4} linear or

branched alkyl optionally mono or multi hydroxy or halo substituted;

R.a^4 is selected from a heteroatom O, S or substituted or unsubstituted amine or saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo and cyano; including optionally substituted aryl, cycloalkyl, alkyl, ketone, (di)amine, (di)amide, alkoxy, cycloalkyl, carboxylic acid or optionally o-, m- or p- substituted phenyl wherein substituents include aryl, alkyl, cycloalkyl, heteroaryl or heteroalkyl, amine, amide, carboxyl, carbonyl or R.a^4 comprises cyclohexyl, cyclopentyl, ethoxy, $(CH_2)_2PhPh$, CH_2Ph, $CONH(CH_2)nCONH$, $CH_2CONH(CH_2)_2NH$, $CH_2PhNHCOCH_2$, $CH_2CH_2OCOCH_2$, succinimidyl ester, $NHCOCH_2$, $CH_2(CH_3)NCOCH_2$, $H_2N(CH_2)_2NHCOCH_2$, $H_2N(CH_2)_8NHCOCH_2$, $H_2NNHCOCH_2$, $CH_2CONH(CH_2)_2NHCOCH_2$, $HOPhCH_2N(CH_2CH_3.HOAc)(CH_2)_2NHCOCH_2$, heterocyclic-$(CH_2)_4CONH(CH_2)_2NHCOCH_2$ or heterocyclic-$NHCON$(heterocyclic)$COCH_2$;

or Lig.a is of the formula Lig.a^2-

Lig.a^2 

wherein at least one or all of Ra^5 to Ra^6, or a cyclic C or heteroatom comprise a linking site or functionality J as hereinbefore defined, each of $C._{A1}$ and $C._{A2}$ is independently selected from C_{5-6} aryl, heteroaryl, cycloalkyl and heterocyclic, more preferably from phenyl, or aryl containing

1 or 2 ring heteroatoms, or heterocyclic containing 1 ring heteroatom and/or 1 ring –C=C- group;

Each of up to seven $R.a^5$ is a substituent of a ring carbon or a ring heteroatom and:

is independently selected from H, halo, hydroxy, thiol, amine, COOH, hydrazine, cyano, saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, and wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo =O or cyano; OCH_3, $CH_2Ph(OCH_3)_2$, $O(CH_2)_3CON(CH_3)$c.hex, $N(CH_2CH_2OH)_2$, c.hex, $COOCH_2CH_3$, CH_2CH_3;

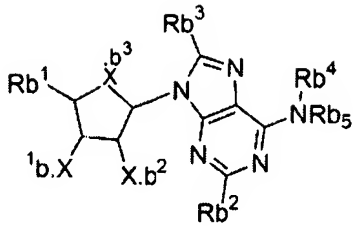
or any two or more of $R.a^5$ form a one, two or three ring fused cyclic structure, a fused 3 ring aryl, 5-heterocyclic or 6-heterocyclic structure having 4 ring atoms common with the fused bicyclic $Lig.a^2$structure;

and $R.a^6$ is a moiety as defined for $R.a^5$ above;

and L.a is as hereinbefore defined for L or J_L L J_T or L.I or subformulae as hereinbefore defined, or is amino acid or amide including a peptide or polypeptide gly or gly_3, alkyl of formula $-(CH_2)_n$ where n is 3 to 8, optionally including one or more heteroatoms or unsaturated groups, including –O- or –S- or –CH=CH-:

Lig.b is suitably of the formula Lig.b including any of its possible linking configurations or sites:

Lig.b



wherein at least one or all of Rb^1 to Rb^5 or Xb^1 to Xb^3 comprise a linking site or functionality J as hereinbefore defined

ring substituents $X.b^1$ and $X.b^2$ are independently selected from hydrocarbon including alkyl or SR_X, $NR_{X.2}$ and OR_X wherein (each) R_X is selected from H, C_{1-5}alkyl, alkenyl;

ring heteroatom $X.b^3$ is selected from –S-, -O- and $–CH_2$-;

Rb^1 is selected from saturated or unsaturated, substituted or unsubstituted C_{1-4} aliphatic, or C_{1-3} alicyclic optionally including one or more heteroatoms N, O, S, P, wherein substituent(s) are selected from one or more cycloalkyl, heterocyclic, hydroxy, oxo, halo, amine; or $R.b^1$ comprises a carbonyl substituted by H, alkyl or a linear or cyclic primary, secondary or tertiary amine, substituted C_{1-3} alkyl, cycloalkyl or amide, cyclopropyl, or $CONHC_{1-3}$alkyl including CONHEt or CH_2OH

and each of $R.b^2$ and $R.b^3$ is selected from H, halo, hydroxy, thiol, amine, COOH, CHO, hydrazine, cyano or saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano, preferably from H, halo or hydroxy;

Rb^4 is H;

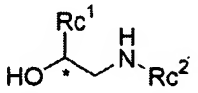
Rb^5 is H or alkyl

L.b comprises a linking site or functionality J as hereinbefore defined; and

is as hereinbefore defined for L or its subformulae, more preferably is saturated and unsaturated substituted or unsubstituted C_{1-12} aliphatic or C_{1-24} aromatic as defined for L optionally including one or more heteroatoms O, S or N, cyclic or heterocyclic groups, or is of formula L.I or its subformulae as hereinbefore defined, or is (CH_2)m wherein m is 2 to 12, or is $(Ph\text{-}CH_2CONH)_2\ (CH_2)_2$;

Lig.c is of the formula Lig.c including any of its possible linking configurations or sites:

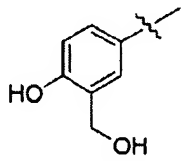
Lig.c $HOC^*(R.c^1)CH_2NH\text{-}R.c^2$



where at least one or all of Rc^1 to Rc^2 or OH, or a chain C or N comprise a linking site or functionality J as hereinbefore defined

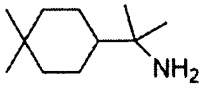
* indicates an optically active centre and

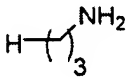
wherein $R.c^1$ is C_{6-14} aryl optionally including one or more heteroatoms selected from H, O, optionally substituted by OH, Hal, NH_2, NHC_{1-3}alkyl, sulphonamide, oxoamine or $(\text{-}CONH_2)$, or is mono, di or tri substituted phenyl or quinoline wherein substituents include OH, Cl or NH_2, or is m-CH_2OH, p-OH phenyl, m-,p-dihydroxy phenol or m-,m-dihydroxyphenol, m-,m-diCl, p-NH_2 phenol, p-OH, m-$CONH_2$ phenol or 5-OH, 8-quinoline,



$R.c^2$ is selected from saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof,

any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any optionally substituted C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano and combinations thereof; or $R.c^2$ is selected from C_{1-6} branched or straight chain aliphatic, C_{6-10} araliphatic optionally substituted by OH and optionally including heteroatoms selected from N,O, optionally including an ether O, and is selected from $-(CH_2)_{-6}OCH((CH_2)_3Ph)$, $CHCH_3(CH_2)_2Ph$, $CHCH_3CH_2PhOH$, $C(CH_3)_2CH_2Ph$ or from the structures:

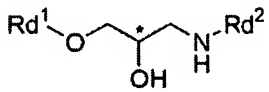




L.c is present as $R.c^2$ or comprises a linking site or functionality J as hereinbefore defined, and is as hereinbefore defined for L, formula L.I or its subformulae as hereinbefore defined, or is selected from C_{1-12} alkyl, amide;

Lig.d is of the formula Lig.d including any of its possible linking configurations or sites:

Lig.d $R.d^1\ OCH_2C^*HOHCH_2NH\text{-}R.d^2$

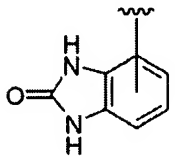
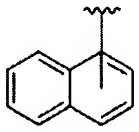
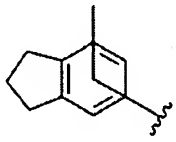
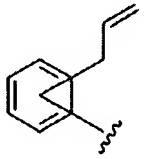
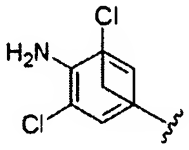


where at least one or all of Rd^1 to Rd^2 or OH, a chain C or N comprise a linking site or functionality J as hereinbefore defined

* indicates an optically active centre

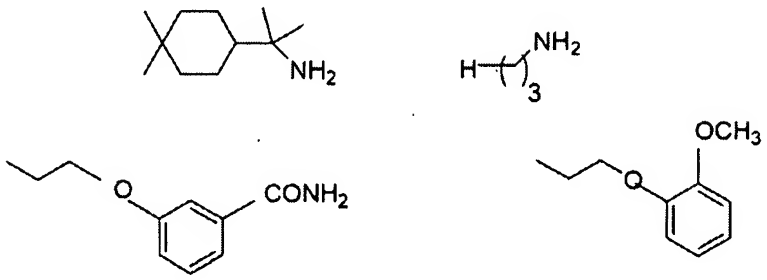
wherein $R.d^1$ is saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of

which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano; or $R.d^1$ is substituted or unsubstituted C_{1-24} aralkyl or heteroaralkyl, including single ring and fused ring systems with (hetero)aryl or cycloalkyl rings, wherein optional substituents include C_{1-6} alkyl, alkoxy, ether, carbonyl, alkenyl, amine, amide each optionally carbonyl, amide, halo or OH substituted, or halo or OH, amine, amide, carbonyl, ketone, ether substituted phenyl or naphthyl, mono-, di-, tri- or tetra substituted mono or polycyclic fused aryl or cycloaryl or heterocycloaryl including phenyl, carbazole or structures shown below or spiro ring systems, mono-, di-, tri- or tetra alkoxyalkyl, alkoxyalkoxyalkyl or CF_3 substituted phenyl or unsubstituted or monosubstituted naphthalene or 5,6 ring systems:

$R.d^2$ is substituted or unsubstituted amine, saturated or unsaturated, substituted or unsubstituted C_{1-12} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine,

hydrazine, oxo or cyano, more preferably amine, C_{1-6} branched or straight chain alkyl optionally including ether O, and optionally substituted by C_{6-10} aryl, or of the formula:



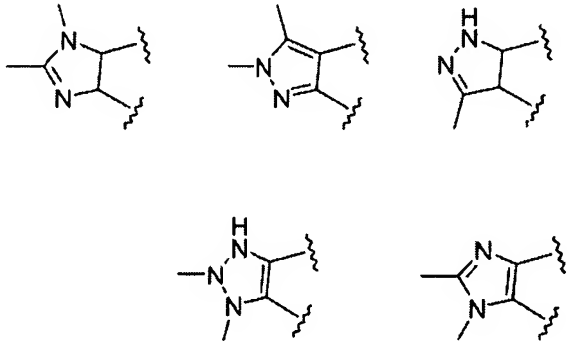
L.d may be present as $R.d^2$ or may comprise a linking site or functionality J as hereinbefore defined and is as hereinbefore defined for L and its subformulae , formula L.I and its subformulae as hereinbefore defined, or is as hereinbefore defined for L.a;

Lig.e comprises a cell permeant moiety or is associated with a cell permeant L or Fl moiety or is of the formula , in either of the following forms given including any of its possible linking configurations or sites:

Lig.e[1]

wherein at least one or all of Re^1 to Re^4, X and a ring C or N comprise a linking site or functionality J as hereinbefore defined

h is selected from



each optionally substituted by $R.e^3$ – $R.e^4$ wherein $R.e^1$ – $R.e^4$ are as $R.a^1$ – $R.a^4$ defined above or in which $R.e^3$ is C_{5-9} linear or branched alkyl, optionally mono or multi hydroxy or halo substituted or is aryl optionally substituted by alkoxy or sulfonyl,

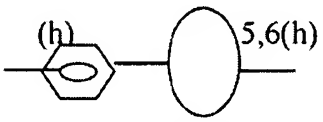
ortho-OEt, meta-SO_2N NCH_3

each X is independently selected from H, O, -$OR.e^2$, N, HN, $NR.e^5$, $HR.e^6$, and aryl optionally substituted by ether; or X is aryl optionally alkyl or alkoxy substituted or is Ph-ortho-$OCH_2CH_2CH_3$;

and where $R.e^5$ is as defined above for $R.e^1$ above or forms a fused cyclic ring together with the adjacent ring N atom, or 1 or 2 fused 5 membered cyclic rings;

and $R.e^6$ is as defined above for $R.e^1$ above or is selected from optionally substituted phenyl wherein optional substituents include ether, o-ethoxy or o-propoxy, alkyl or OH, sulphonyl or carbonyl substituted by heterocyclic, or cyclic C_{5-8} alkyl, piperazinyl or sulphonyl;

or Lig.e is of the formula $Lig.e^2$

$Lig.e^2$ 

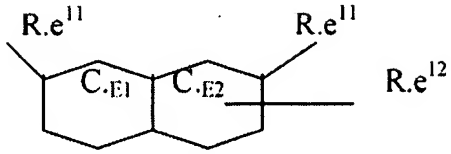
wherein at least one or all free ring atom or their substituents comprise a linking site or functionality J as hereinbefore defined

each spiro ring optionally comprises zero or one or more heteroatoms h

or (h)  comprises zero or 1 N heteroatom and  5,6(h) comprises zero, 1 or 2 N heteroatoms and is unsaturated or comprises one or two –C=C- or -C=N- groups;

and wherein each ring is optionally substituted by one or more oxo, CO, COOH, C_{1-6} alkyl or linear or cyclic alkoxy optionally substituted by one or more oxo, CO, COOH, CN, or C_{1-6} alicyclic or amine groups, amine or one or more spiro or fused heterocycles;

or Lig.e is of the formula Lig.e[3]

Lig.e[3] 

wherein at least one or all of Re^{11} to Re^{12}, or a ring C or heteroatom or ring substituent comprise a linking site or functionality J as hereinbefore defined

each of $C_{.E1}$ and $C_{.E2}$ is independently selected from C_{5-6} aryl, heteroaryl, cyloalkyl and heterocyclic, including phenyl, or aryl containing 1 or 2 ring heteroatoms, or heterocyclic containing 1 ring heteroatom and/or 1 ring –C=C- group;

each of up to seven $R.e^{11}$ is a substituent of a ring carbon or a ring heteroatom and:

is independently selected from saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, and wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo =O, or cyano, OCH_3, $CH_2Ph(OCH_3)_2$, $O(CH_2)_3CON(CH_3)$c.hex, $N(CH_2CH_2OH)_2$, c.hex, $COOCH_2CH_3$, CH_2CH_3;

or any two or more of $R.e^{11}$ form a one, two or three ring fused cyclic structure, a fused 3 ring aryl, 5-heterocyclic or 6-heterocyclic structure having 4 ring atoms common with the fused bicyclic Lig.e[3] structure;

and $R.e^{12}$ is a moiety as defined for $R.e^{11}$ above;

L.e comprises a linking site or functionality J as hereinbefore defined and is suitably

as hereinbefore defined for L.a.

56. (Cancelled).

57. (Withdrawn) Library as claimed in Claim 47 wherein Fl is of formula J_T – t – Fl and comprises a BODIPY ™ structure characterised by a dipyrrometheneboron difluoride core, optionally modified by one or two fused rings, optionally substituted by one or several substituents selected from alkyl, alkoxy, aryl or heterocyclic, wherein one substituent –t- is adapted for linking as hereinbefore defined to a ligand precursor as hereinbefore defined, wherein the substituent –t- comprises a proximal unsaturated or aryl moiety, comprising a medial short, medium or long chain alkynyl or cycloalkyl moiety and comprising a moiety derived from linking via a reactive group as hereinbefore defined or selected from carboxyl, sulphonate or as a heteroatom O or S or methylene derived from linking at an alkylhalide including methylbromide, haloacetamide or sulphonate ester electrophilic group.

58. (Cancelled).

59. (Withdrawn) Process for the preparation of a library as claimed in Claim 47 which is a combinatorial process; and comprises the reaction of one or more ligand precursors of formula IV and/or IV'

IV $(LigJ_L)_m$ –L $–Y_{Lm}$

IV' Lig Y_{Ligm}

comprising one or more or different reactive groups Y_L or Y_{Lig} forming a linking functionality J, J_L or J_T as hereinbefore defined

with one or more of a plurality of analytical tagging substrates of formula V and/or V'

V Y_{Tm} Tag

V' Y_{Tm} L $(J_T Tag)_m$

comprising one or more or different reactive groups Y_T forming a linking functionality J or J_T as hereinbefore defined

and optionally one or more linking species VI or VI' or VI''

VI Y_{Lm}L Y_{Lm}

wherein Lig, J, L, J_T and Tag and each m is independently as hereinbefore defined

wherein the or each compound of formula IV or IV' is capable of reaction with the or each compound of formula V or V', optionally via the or each species VI or VI' or VI'' to form a plurality of compounds of formula I as hereinbefore defined;

wherein linking is at same or different reactive sites in different compounds as hereinbefore defined.

60. (Withdrawn) Process for the preparation of a compound of formula I as hereinbelow defined in Claim 64 comprising the reaction of a compound of formula IV or IV' and a compound of formula V or V' and optionally additionally VI, as hereinbefore defined in claim 59.

61-62. (Cancelled).

63. (Withdrawn) Process as claimed in Claim 59 which comprises additionally determining pharmacology for a plurality of or all compounds in the library in order to enable selecting a compound exhibiting desired pharmacology, whereby the process comprises preparing a preliminary library of compounds, conducting screens to assess binding or inhibition, selecting a compound identified in the screen as having beneficial properties, and modifying or functionalising by nature of moieties or linking location of linking on the basis of the indications from the screen to prepare an optimised library, wherein the molecular pharmacology and photochemistry from the screen feedback into the design of the library.

64. (Currently Amended) A compound of formula I

$$(Lig\,J_L)_m\;\; L(J_TTag)_m(J_TL(J_LLig)_m)_p$$

or salt thereof wherein an optically active ligand is present as a racemate or as one of its optically active isomers

comprising ligand moiety Lig linked to tag moiety Tag via linker moiety L at linking site or linking functionality J_T and J_L

wherein Lig is a ligand selected from a non-peptide GPCR ligand agonist and a non-peptide GPCR ligand antagonist, wherein the Lig comprises pharmacological activity as an agonist or antagonist for GPCR receptor binding and activation or inhibition

L is selected from a saturated or unsaturated single or double bond, -O-, -S-, amine, COO-, amide, -NN- hydrazine; and saturated or unsaturated, substituted or

unsubstituted C_{1-600} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, wherein optional substituents are selected from any C_{1-20} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo, cyano and carbonyl and combinations thereof, and L is monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300;

m are each independently selected from a whole number integer from 1 to 3;

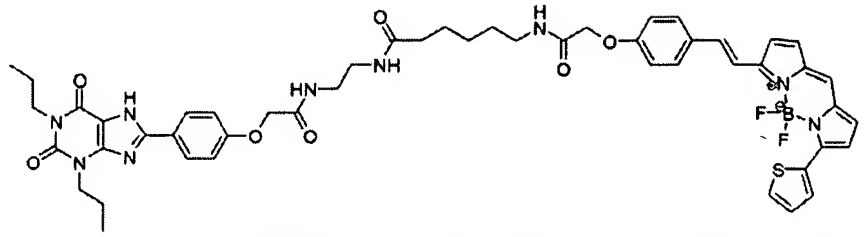
p is 0 to 3

wherein -Tag is a fluorophore entity -Fl, whereby the compound is of formula I'

$(LigJ_L)_m$ L $(J_T\ Fl)_m(J_T\ L\ (J_L Lig)_m)_p$

wherein Fl is selected from 4,4-difluoro-4-bora-3a,4a-diaz-s-indacene dyes and includes a substituent –t- which is a heteroaryl or alkenyl group which performs a fluorescence modifying function which shifts the fluorescence to the red part of the spectrum and raises the absorption max value and

the compound of formula I or I' retains pharmacological activity as a fluorescent GPCR ligand agonist or fluorescent GPCR ligand antagonist for GPCR receptor binding and activation or inhibition, with the proviso that the compound is not



XAC – BODIPY 630/650 X

~~a) when Lig is XAC (8-[4-[(2-aminoethyl)-aminocarbonylmethyloxy]phenyl]-1,3-dipropylxanthine, whereby in Lig.a when each of R.a^1 and R.a^2 is propyl, R.a^3 is H and R.a^4 is Ph $OCH_2CONH(CH_2)_2NH$, and L is a single bond) Fl is not BODIPY™ 630/650 (6-(((4,4-difluoro-5-(2-thienyl)-4-bora-3a,4a-diaza-s-indacene-3-yl)styryloxy)acetyl)aminohexanoyl.~~

65. (Previously Presented) A compound of formula I as defined in Claim 64 which is a compound of formula II or III

II $(LigJ_L)_m$ L J_T $TagJ_T$ L $(J_L$ $Lig)_m$ where each m is as hereinbefore defined and is preferably 1 or 2, more preferably 1

III $(LigJ_L)_m$ L $(J_TTag)_m$ wherein each m is as hereinbefore defined and is preferably 1 and/or 2, more preferably

Lig J_L – L – J_L Tag and/or

Lig J_L – L – J_T Tag and/or Lig J_L – L – J_T Tag

$\searrow J_L$ Lig $\searrow J_T$ Tag

and wherein any optically active fluorescent ligand is present as a racemate or as one of its optically active isomers.

66. (Previously Presented) A compound according to Claim 64, wherein Fl is of formula J_T – t – Fl and comprises a BODIPY ™ structure 4,4-difluoro-4-bora-3a,4a-diaza-*s*-indacene-3-yl characterised by a dipyrromethene boron difluoride core, optionally modified by one or two fused rings, optionally substituted by one or several substituents selected from alkyl, alkoxy, aryl or heterocyclic, wherein one substituent –t- is adapted for linking as hereinbefore defined to a ligand precursor as hereinbefore defined, wherein the substituent –t- comprises a proximal unsaturated or aryl moiety, comprising a medial short, medium or long chain alkynyl or cycloalkyl moiety and comprising a moiety derived from linking via a reactive group as hereinbefore defined or selected from carboxyl, sulphonate or as a heteroatom O or S or methylene derived from linking at an alkylhalide including methylbromide, haloacetamide or sulphonate ester electrophilic group.

67. (Previously Presented) A compound of the formula I or I' as hereinbefore defined in Claim 64 selected from formulae Lig.a_m L.a-Fl.a_n to Lig.e_m L.eFl.e_n.

wherein:

Lig.a_m is suitably of the formula, in either of the following forms given, including any of its possible linking configurations or sites:

Lig.a $^{1}_{m}$

Wherein at least one or all of Ra^1 to Ra^4, X^1 and X^2 comprise a linking site or functionality J as hereinbefore defined

X^1 and X^2 are each independently selected from H, O, OR.a, NR.a, NHR.a;

X^1 and X^2 are each preferably O;

each of $R.a^1$, $R.a^2$, $R.a^3$ and $R.a^4$ independently is selected from H or C_{1-4} linear or branched alkyl optionally mono or multi hydroxy or halo substituted;

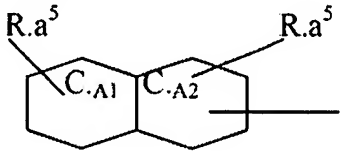
$R.a^4$ is selected from a heteroatom O, S or substituted or unsubstituted amine or saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo and cyano; including optionally substituted aryl, cycloalkyl, alkyl, ketone, (di)amine, (di)amide, alkoxy, cycloalkyl, carboxylic acid or optionally o-, m- or p- substituted phenyl wherein substituents include aryl, alkyl, cycloalkyl, heteroaryl or heteroalkyl, amine, amide, carboxyl, carbonyl or $R.a^4$ comprises cyclohexyl, cyclopentyl, ethoxy, $(CH_2)_2PhPh$, CH_2Ph, $CONH(CH_2)nCONH$, $CH_2CONH(CH_2)_2NH$, $CH_2PhNHCOCH_2$, $CH_2CH_2OCOCH_2$, succinimidyl ester, $NHCOCH_2$, $CH_2(CH_3)NCOCH_2$, $H_2N(CH_2)_2NHCOCH_2$, $H_2N(CH_2)_8NHCOCH_2$, $H_2NNHCOCH_2$, $CH_2CONH(CH_2)_2NHCOCH_2$,

$HOPhCH_2N(CH_2CH_3.HOAc)(CH_2)_2NHCOCH_2$,

heterocyclic-$(CH_2)_4CONH(CH_2)_2NHCOCH_2$ or

heterocyclic-NHCON(heterocyclic)$COCH_2$;

or Lig.a is of the formula Lig.a^2-

Lig.a^2 

wherein at least one or all of Ra^5 to Ra^6, or a cyclic C or heteroatom comprise a linking site or functionality J as hereinbefore defined, each of $C._{A1}$ and $C._{A2}$ is independently selected from C_{5-6} aryl, heteroaryl, cycloalkyl and heterocyclic, more preferably from phenyl, or aryl containing 1 or 2 ring heteroatoms, or heterocyclic containing 1 ring heteroatom and/or 1 ring –C=C- group;

Each of up to seven R.a^5 is a substituent of a ring carbon or a ring heteroatom and:

is independently selected from H, halo, hydroxy, thiol, amine, COOH, hydrazine, cyano, saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, and wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo =O or cyano; OCH_3, $CH_2Ph(OCH_3)_2$, $O(CH_2)_3CON(CH_3)$c.hex, $N(CH_2CH_2OH)_2$, c.hex, $COOCH_2CH_3$, CH_2CH_3;

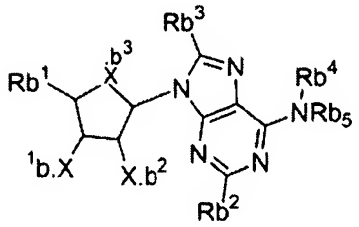
or any two or more of R.a^5 form a one, two or three ring fused cyclic structure, a fused 3 ring aryl, 5-heterocyclic or 6-heterocyclic structure having 4 ring atoms common with the fused bicyclic Lig.a^2structure;

and R.a^6 is a moiety as defined for R.a^5 above;

and L.a is as hereinbefore defined for L or J_L L J_T or L.I or subformulae as hereinbefore defined, or is amino acid or amide including a peptide or polypeptide gly or gly_3, alkyl of formula $-(CH_2)_n$ where n is 3 to 8, optionally including one or more heteroatoms or unsaturated groups, including –O- or –S- or –CH=CH-:

Lig.b is suitably of the formula Lig.b including any of its possible linking configurations or sites:

Lig.b



wherein at least one or all of Rb^1 to Rb^5 or Xb^1 to Xb^3 comprise a linking site or functionality J as hereinbefore defined

ring substituents $X.b^1$ and $X.b^2$ are independently selected from hydrocarbon including alkyl or SR_X, $NR_{X.2}$ and OR_X wherein (each) R_X is selected from H, C_{1-5}alkyl, alkenyl;

ring heteroatom $X.b^3$ is selected from –S-, -O- and $–CH_2$-;

Rb^1 is selected from saturated or unsaturated, substituted or unsubstituted C_{1-4} aliphatic, or C_{1-3} alicyclic optionally including one or more heteroatoms N, O, S, P, wherein substituent(s) are selected from one or more cycloalkyl, heterocyclic, hydroxy, oxo, halo, amine; or $R.b^1$ comprises a carbonyl substituted by H, alkyl or a linear or cyclic primary, secondary or tertiary amine, substituted C_{1-3} alkyl, cycloalkyl or amide, cyclopropyl, or $CONHC_{1-3}$alkyl including CONHEt or CH_2OH

and each of $R.b^2$ and $R.b^3$ is selected from H, halo, hydroxy, thiol, amine, COOH, CHO, hydrazine, cyano or saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations

thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano, preferably from H, halo or hydroxy;

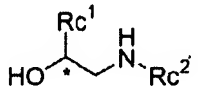
Rb^4 is H;

Rb^5 is H or alkyl

L.b comprises a linking site or functionality J as hereinbefore defined; and
is as hereinbefore defined for L or its subformulae, more preferably is saturated and unsaturated substituted or unsubstituted C_{1-12} aliphatic or C_{1-24} aromatic as defined for L optionally including one or more heteroatoms O, S or N, cyclic or heterocyclic groups, or is of formula L.I or its subformulae as hereinbefore defined, or is $(CH_2)m$ wherein m is 2 to 12, or is $(Ph\text{-}CH_2CONH)_2\ (CH_2)_2$;

Lig.c is of the formula Lig.c including any of its possible linking configurations or sites:

Lig.c $HOC^*(R.c^1)CH_2NH\text{-}R.c^2$

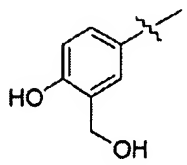


where at least one or all of Rc^1 to Rc^2 or OH, or a chain C or N comprise a linking site or functionality J as hereinbefore defined

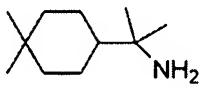
* indicates an optically active centre and

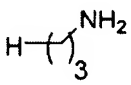
wherein $R.c^1$ is C_{6-14} aryl optionally including one or more heteroatoms selected from H, O, optionally substituted by OH, Hal, NH_2, NHC_{1-3}alkyl, sulphonamide, oxoamine or $(\text{-}CONH_2)$, or is mono, di or tri substituted phenyl or quinoline wherein substituents include OH, Cl or NH_2, or is m-CH_2OH, p-OH phenyl, m-,p-dihydroxy phenol or m-,m-dihydroxyphenol, m-,m-diCl, p-NH_2 phenol,

p-OH, m-$CONH_2$ phenol or 5-OH, 8-quinoline,



$R.c^2$ is selected from saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any optionally substituted C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano and combinations thereof; or $R.c^2$ is selected from C_{1-6} branched or straight chain aliphatic, C_{6-10} araliphatic optionally substituted by OH and optionally including heteroatoms selected from N,O, optionally including an ether O, and is selected from $-(CH_2)_6OCH((CH_2)_3Ph)$, $CHCH_3(CH_2)_2Ph$, $CHCH_3CH_2PhOH$, $C(CH_3)_2CH_2Ph$ or from the structures:

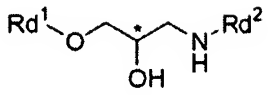




L.c is present as $R.c^2$ or comprises a linking site or functionality J as hereinbefore defined, and is as hereinbefore defined for L, formula L.I or its subformulae as hereinbefore defined, or is selected from C_{1-12} alkyl, amide;

Lig.d is of the formula Lig.d including any of its possible linking configurations or sites:

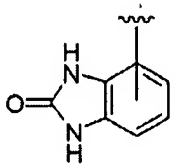
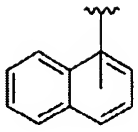
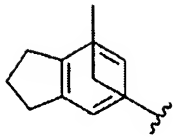
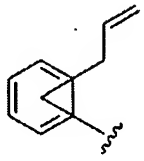
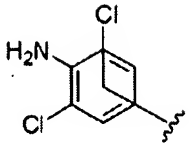
Lig.d $R.d^1\ OCH_2C^*HOHCH_2NH\text{-}R.d^2$



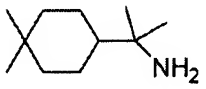
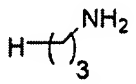
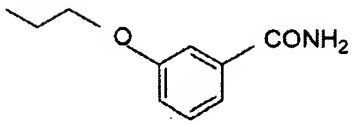
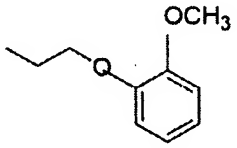
where at least one or all of Rd^1 to Rd^2 or OH, a chain C or N comprise a linking site or functionality J as hereinbefore defined

* indicates an optically active centre

wherein $R.d^1$ is saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano; or $R.d^1$ is substituted or unsubstituted C_{1-24} aralkyl or heteroaralkyl, including single ring and fused ring systems with (hetero)aryl or cycloalkyl rings, wherein optional substituents include C_{1-6} alkyl, alkoxy, ether, carbonyl, alkenyl, amine, amide each optionally carbonyl, amide, halo or OH substituted, or halo or OH, amine, amide, carbonyl, ketone, ether substituted phenyl or naphthyl, mono-, di-, tri- or tetra substituted mono or polycyclic fused aryl or cycloaryl or heterocycloaryl including phenyl, carbazole or structures shown below or spiro ring systems, mono-, di-, tri- or tetra alkoxyalkyl, alkoxyalkoxyalkyl or CF_3 substituted phenyl or unsubstituted or monosubstituted naphthalene or 5,6 ring systems:

R.d^2 is substituted or unsubstituted amine, saturated or unsaturated, substituted or unsubstituted C_{1-12} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P; wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo or cyano, more preferably amine, C_{1-6} branched or straight chain alkyl optionally including ether O, and optionally substituted by C_{6-10} aryl, or of the formula:

L.d may be present as R.d^2 or may comprise a linking site or functionality J as hereinbefore defined and is as hereinbefore defined for L and its subformulae , formula L.I and its subformulae as hereinbefore defined, or is as hereinbefore

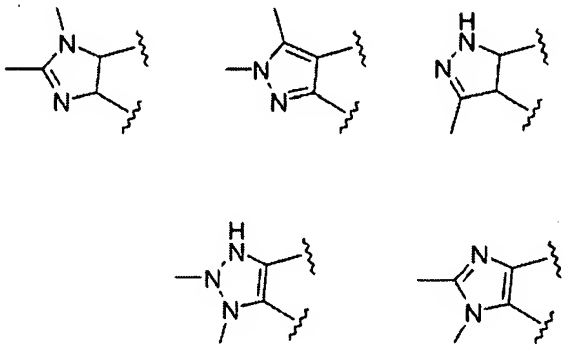
defined for L.a;

Lig.e comprises a cell permeant moiety or is associated with a cell permeant L or Fl moiety or is of the formula , in either of the following forms given including any of its possible linking configurations or sites:

Lig.e[1]

wherein at least one or all of Re^1 to Re^4, X and a ring C or N comprise a linking site or functionality J as hereinbefore defined

h is selected from



each optionally substituted by $R.e^3$ – $R.e^4$ wherein $R.e^1$ – $R.e^4$ are as $R.a^1$ – $R.a^4$ defined above or in which $R.e^3$ is C_{5-9} linear or branched alkyl, optionally mono or multi hydroxy or halo substituted or is aryl optionally substituted by alkoxy or sulfonyl,

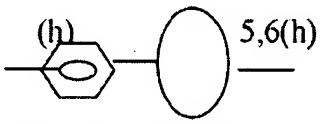
ortho-OEt, meta-SO_2N NCH_3

each X is independently selected from H, O, -OR.e^2, N, HN, NR.e^5, HR.e^6 , and aryl optionally substituted by ether; or X is aryl optionally alkyl or alkoxy substituted or is Ph-ortho-$OCH_2CH_2CH_3$;

and where R.e^5 is as defined above for R.e^1 above or forms a fused cyclic ring together with the adjacent ring N atom, or 1 or 2 fused 5 membered cyclic rings;

and R.e^6 is as defined above for R.e^1 above or is selected from optionally substituted phenyl wherein optional substituents include ether, o-ethoxy or o-propoxy, alkyl or OH, sulphonyl or carbonyl substituted by heterocyclic, or cyclic C_{5-8} alkyl, piperazinyl or sulphonyl;

or Lig.e is of the formula Lig.e^2

Lig.e^2 

wherein at least one or all free ring atom or their substituents comprise a linking site or functionality J as hereinbefore defined

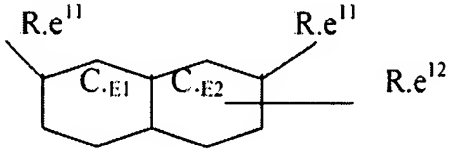
each spiro ring optionally comprises zero or one or more heteroatoms h

or (h)  comprises zero or 1 N heteroatom and  5,6(h) comprises zero, 1 or 2 N heteroatoms and is unsaturated or comprises one or two –C=C- or -C=N- groups;

and wherein each ring is optionally substituted by one or more oxo, CO, COOH, C_{1-6} alkyl or linear or cyclic alkoxy optionally substituted by one or more oxo,

CO, COOH, CN, or C_{1-6} alicyclic or amine groups, amine or one or more spiro or fused heterocycles;

or Lig.e is of the formula $Lig.e^3$

$Lig.e^3$ 

wherein at least one or all of Re^{11} to Re^{12}, or a ring C or heteroatom or ring substituent comprise a linking site or functionality J as hereinbefore defined

each of $C_{.E1}$ and $C_{.E2}$ is independently selected from C_{5-6} aryl, heteroaryl, cyloalkyl and heterocyclic, including phenyl, or aryl containing 1 or 2 ring heteroatoms, or heterocyclic containing 1 ring heteroatom and/or 1 ring –C=C- group;

each of up to seven $R.e^{11}$ is a substituent of a ring carbon or a ring heteroatom and:

is independently selected from saturated or unsaturated, substituted or unsubstituted C_{1-20} branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, and wherein optional substituents are selected from any C_{1-12} aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo =O, or cyano, OCH_3, $CH_2Ph(OCH_3)_2$, $O(CH_2)_3CON(CH_3)$c.hex, $N(CH_2CH_2OH)_2$, c.hex, $COOCH_2CH_3$, CH_2CH_3;

or any two or more of $R.e^{11}$ form a one, two or three ring fused cyclic structure, a fused 3 ring aryl, 5-heterocyclic or 6-heterocyclic structure having 4 ring atoms common with the fused bicyclic $Lig.e^3$ structure;

and $R.e^{12}$ is a moiety as defined for $R.e^{11}$ above;

L.e comprises a linking site or functionality J as hereinbefore defined and is suitably as hereinbefore defined for L.a.

68. (Cancelled)

69. (Withdrawn) A kit comprising a Compound of formula I or I' as hereinbefore defined in Claim 64 associated with information relating to its pharmacological properties in the form of Spectral Properties given as Excitation Max and Emission Max, Fluorescence Lifetime and Emission quantum yield and Pharmacology defined in terms of cells expressing a GPCR receptor as hereinbefore defined and given as the Inhibition or Antagonism of receptor binding or of receptor functionality together with a value for the Inhibition (pK_B) or Antagonism (pK_I) binding constants, and optionally together with fluorescent images of the pharmacological binding in single living cells illustrating the defined inhibition or antagonism, preferably the pharmacological properties are given as EC_{50} values for agonist stimulated – or pK_i values for antagonism of agonist stimulated second messenger generation.

70-72. (Cancelled).

73. (Withdrawn) A library of fluorescent ligands of formula I or I' or a compound thereof as hereinbefore defined in Claim 47 for visualising receptors or receptor binding, assessing

pharmacological properties of the fluorescent ligand, in high throughput screening of novel chemical entities that bind to the target receptor, in inhibiting an intracellular enzyme or inhibiting a drug transporter or a substrate of a drug transporter, in studying drug transport or drugs suitable for transport or in distinguishing healthy or diseased tissue.

74. (Withdrawn) A library of fluorescent ligands of formula I or I' or a compound thereof as hereinbefore defined in claim 47 or 64 for use in a method for GPCR receptor binding or inhibition, and visualisation comprising contacting the library or a compound thereof with a sample comprising live cell material comprising GPCRs, in manner to facilitate binding thereof, and detecting changes in fluorescence or location thereof.

75. (Withdrawn) A library of fluorescent ligands of formula I or I' or a compound thereof for use as claimed in claim 74 wherein the library or compound thereof is a fluorescent ligand(s) which has affinity such that it binds semi-permanently or transiently and remains bound when unbound ligand is washed away.

76. (Withdrawn) A library of fluorescent ligands of formula I or I' or a compound thereof for use as claimed in claim 74 wherein detecting a change in fluorescence is by means of confocal microscopy or fluorescence correlation spectroscopy.

77. (Withdrawn) A library of fluorescent ligands of formula I or I' or a compound

thereof for use as claimed in claim 74 wherein the library or compound thereof comprises fluorescent ligand agonist(s) which maintains its binding affinity and functional activity or is an antagonist which maintains its binding affinity on linking or when linked to fluorescent moiety Fl.

78. (Withdrawn) A kit comprising a library or a compound of formula I or I' as claimed in claim 47 or 64 and a target therefor provided as cell derived material selected from a cell line, expressing a GPCR, membrane containing these proteins derived from such a cell line, solubilised receptor, or GPCR array from that cell line.

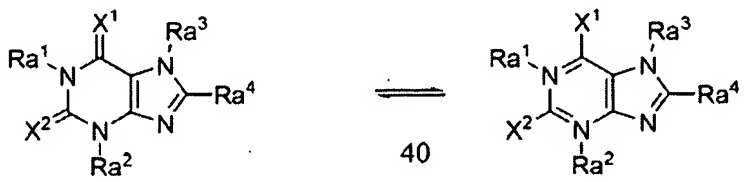
79. (Withdrawn) Kit as claimed in Claim 78 wherein the cell derived material is provided in one of three forms: (1) from cells expressing a green fluorescent protein tagged receptor, (2) from cells expressing an epitope tag for a commercially available fluorescent antibody or (3) a wild-type protein for which a specific fluorescent antibody is also provided.

80-82. (Cancelled).

83. (Withdrawn) Library as claimed in Claim 55 wherein:

Lig.a comprises linking functionality J_L which is amine, and is of the formula, in either of the following forms given:

Lig.a $^{I}{}_{m}$



wherein Ra^4 comprises linking functionality J_L and J_T which is amine;

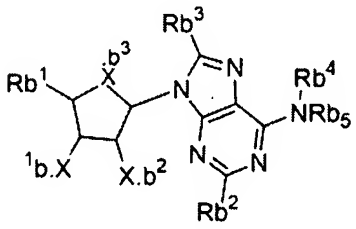
X^1 and X^2 are each O;

$R.a^3$ is H;

each of $R.a^1$ and $R.a^2$ is n-propyl;

$R.a^4$ is p- substituted phenyl wherein the substituent is heteroalkyl amide amine; and includes L which is C_{1-50} alkyl optionally substituted by C_1 alkyl and including the formula $-(CH_2)_n$ where n is 3 to 8, optionally including one or more heteroatoms –O;

Lig.b comprises linking functionality J_L which is amine, and is



wherein ring substituents $X.b^1$ and $X.b^2$ are each OH;

ring heteroatom $X.b^3$ is -O- ;

Rb^1 is CONHEt or CH_2OH;

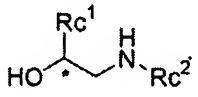
and each of $R.b^2$ and $R.b^3$ is H;

Rb^4 is H;

Rb^5 comprises linking functionality J_T which is amino, and linker L.b selected from saturated C_{1-12} aliphatic and C_{6-24}- aromatic, optionally substituted by one or more C_1 alkyl and

optionally including one or more heteroatoms O or cyclic groups;

Lig.c comprises linking functionality J_L which is amine and is

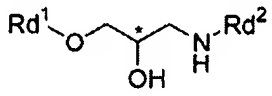


as a racemate or as one of its optically active isomers wherein * indicates an optically active centre,

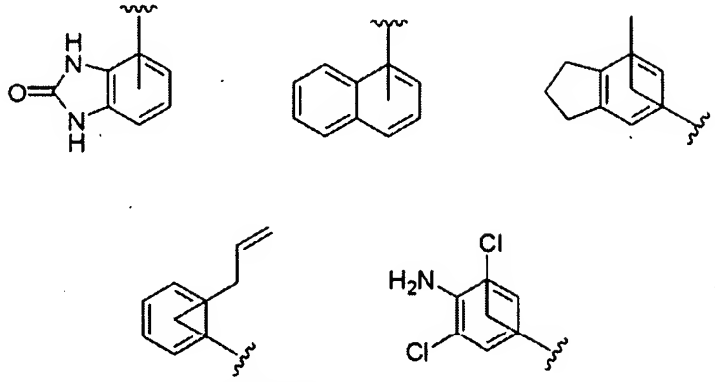
Rc^1 is m-, p- dihydroxyphenyl; and

Rc^2 comprises linking functionality J_L which is amine, and linker L.c which is selected from C_{1-12} straight chain alkyl, C_{6-12} cycloalkyl or aryl and combinations thereof optionally comprising one or more heteroatoms O and optionally substituted by C_1 aliphatic;

or Lig.d comprises a linking functionality J_L which is amine and is



as a racemate or as one of its optically active isomers wherein * indicates an optically active centre,



Rd^1 is selected from the structures

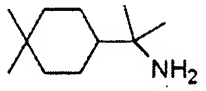
and a substituted C_{1-20} spiro aromatic ring system comprising a single aromatic ring and a heteroaryl and optionally halo substituted; and

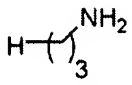
Rd^2 comprises linking functionality J_T which is amine, and linker L.d which is selected from C_{1-12} straight chain alkyl, C_{6-12} cycloalkyl or aryl and combinations thereof optionally comprising one or more heteroatoms O and optionally substituted by C_1 aliphatic; or Rd^2 is C_{1-6} straight chain alkyl including ether O and substituted by C_{6-10} aryl which is OH and oxo substituted and comprises linker L.d as hereinbefore defined.

84. (Withdrawn) Library as claimed in claim 83 wherein

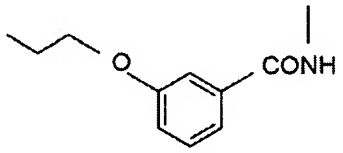
$R.a^4$, $R.b^5$ or $R.c^2$ or $R.d^2$ comprises linking functionality J_T which is amino, and linker L.a, L.b, L.c or L.d selected from $(CH_2)m$ wherein m is 3, 4, 6 or 8 or is in the range 3 to 8 or 2 to 12 optionally including one or more substituents C_1, or J_L L J_T is mono or polyethylene glycol diamine; or

$R.c^2$ or $R.d^2$ comprises linking functionality J_T which is amino, and linker L.c or L.d selected from $C(CH_3)_2CH_2Ph$ and mono amino menthane or the structure





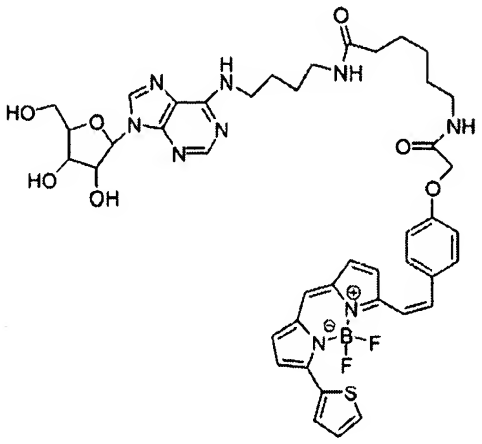
or Rd^2 comprises the following OH substituted aryl structure wherein linking functionality J_I is shown as amine, Ld is as hereinabove defined and includes J_T which is amine:



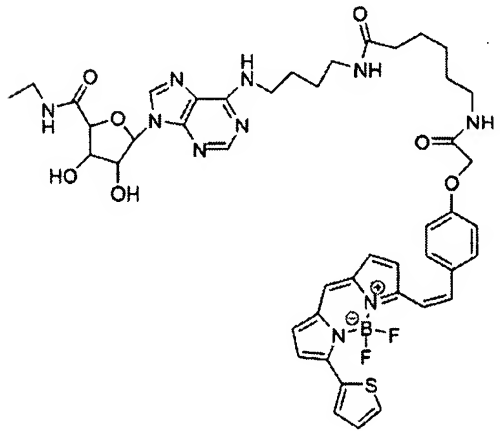
85. (Cancelled).

86. (Withdrawn) Library as claimed in Claim47 wherein Fl is selected from BODIPY 630/650 and analogues thereof including BODIPY 630/650 X.

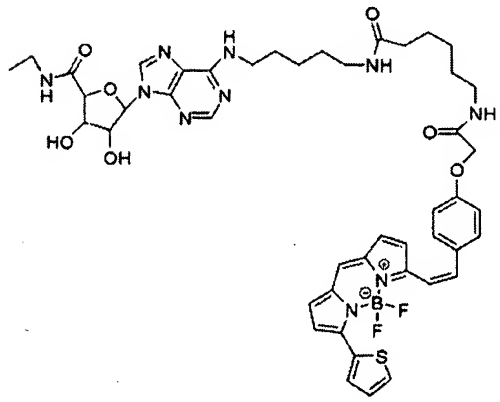
87. (Withdrawn) Library comprising a compound selected from the following structures wherein any optically active fluorescent ligand is present as a racemate or as one of its optically active isomers:



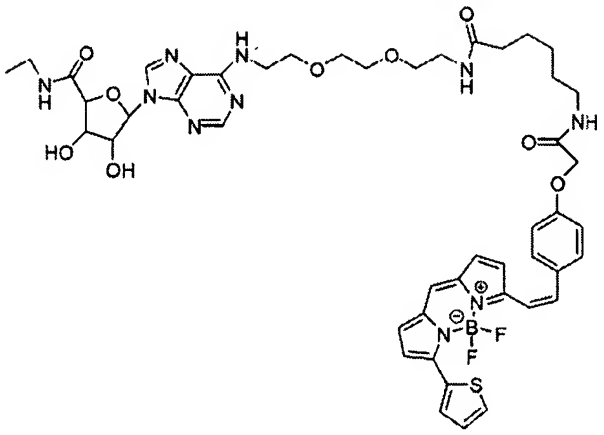
ABA-BY630



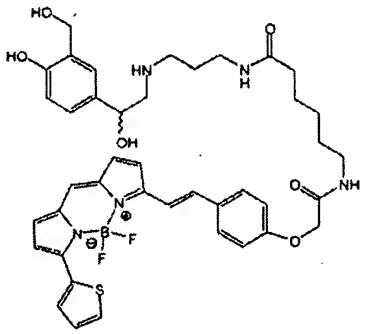
ABEA-BY630



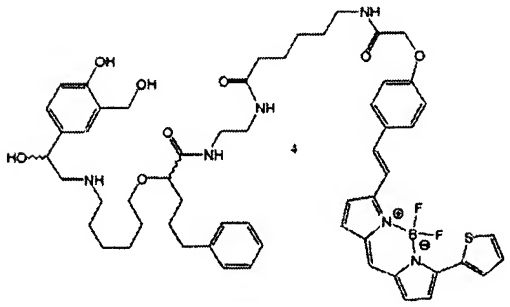
APEA-BY 630



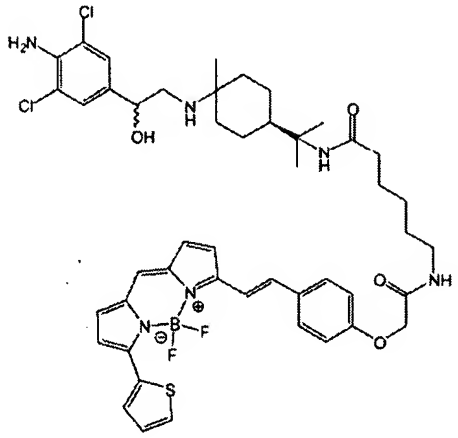
ABIPEA – BY630



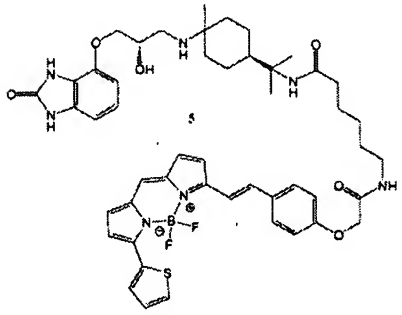
and



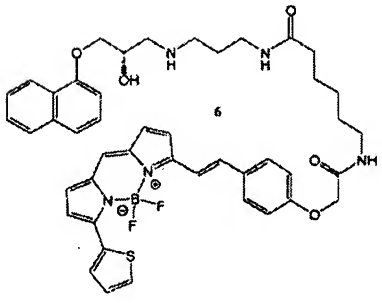
Salmeterol BY 630/650



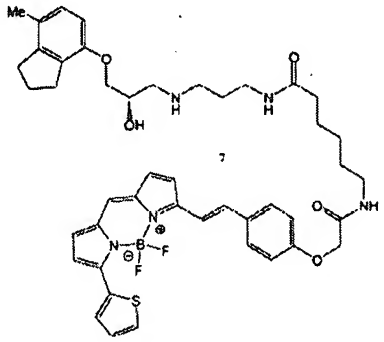
Clenbuterol BY 630/650



CGP12177-BY 630/650



Propranolol BY630/650



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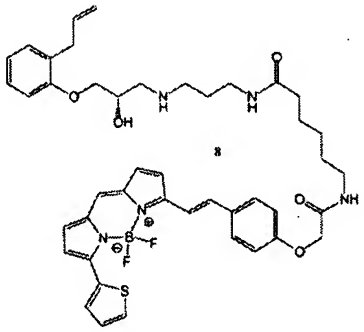


Alprenolol-BY630/650

and wherein the library comprises pharmacological activity as a fluorescent GPCR ligand agonist or fluorescent GPCR ligand antagonist for GPCR receptor binding and activation or inhibition.

88. (Previously Presented) Compound as claimed in Claim 67 wherein:

Lig.a comprises linking functionality J_L which is amine, and is of the formula, in either of the following forms given:

Lig.a $^{l}{}_{m}$

wherein Ra^4 comprises linking functionality J_L and J_T which is amine;

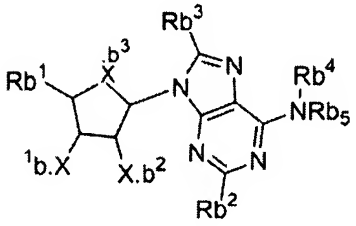
X^1 and X^2 are each O;

$R.a^3$ is H;

each of $R.a^1$ and $R.a^2$ is n-propyl;

$R.a^4$ is p- substituted phenyl wherein the substituent is heteroalkyl amide amine; and includes L which is $C_{1\text{-}50}$ alkyl optionally substituted by C_1 alkyl and including the formula $-(CH_2)_n$ where n is 3 to 8, optionally including one or more heteroatoms –O;

Lig.b comprises linking functionality J_L which is amine, and is



wherein ring substituents $X.b^1$ and $X.b^2$ are each OH;

ring heteroatom $X.b^3$ is -O- ;

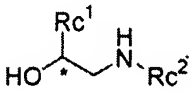
Rb^1 is CONHEt or CH_2OH;

and each of $R.b^2$ and $R.b^3$ is H;

Rb^4 is H;

Rb^5 comprises linking functionality J_T which is amino, and linker L.b selected from saturated C_{1-12} aliphatic and C_{6-24} aromatic, optionally substituted by one or more C_1 alkyl and optionally including one or more heteroatoms O or cyclic groups;

Lig.c comprises linking functionality J_L which is amine and is

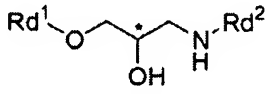


as a racemate or as one of its optically active isomers wherein * indicates an optically active centre,

Rc^1 is m-, p- dihydroxyphenyl; and

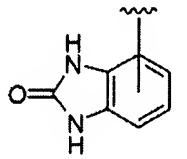
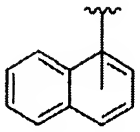
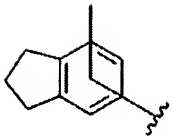
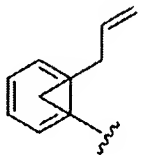
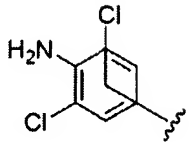
Rc^2 comprises linking functionality J_T which is amine, and linker L.c which is selected from C_{1-12} straight chain alkyl, C_{6-12} cycloalkyl or aryl and combinations thereof optionally comprising one or more heteroatoms O and optionally substituted by C_1 aliphatic;

or Lig.d comprises a linking functionality J_L which is amine and is



as a racemate or as one of its optically active isomers wherein * indicates an optically active

centre,

Rd^1 is selected from the structures

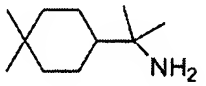
and a substituted C_{1-20} spiro aromatic ring system comprising a single aromatic ring and a heteroaryl and optionally halo substituted; and

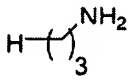
Rd^2 comprises linking functionality J_T which is amine, and linker L.d which is selected from C_{1-12} straight chain alkyl, C_{6-12} cycloalkyl or aryl and combinations thereof optionally comprising one or more heteroatoms O and optionally substituted by C_1 aliphatic; or Rd^2 is C_{1-6} straight chain alkyl including ether O and substituted by C_{6-10} aryl which is OH and oxo substituted and comprises linker L.d as hereinbefore defined,

with the proviso that the compound is not a compound excluded in Claim 47.

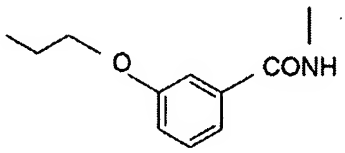
89. (Previously Presented) Compound as claimed in Claim 88 wherein $R.a^4$, $R.b^5$ or $R.c^2$ or $R.d^2$ comprises linking functionality J_T which is amino, and linker L.a, L.b, L.c or L.d

selected from $(CH_2)m$ wherein m is 3, 4, 6 or 8 or is in the range 3 to 8 or 2 to 12 optionally including one or more substituents C_1, or J_L L J_T is mono or polyethylene glycol diamine; or $R.c^2$ or $R.d^2$ comprises linking functionality J_T which is amino, and linker L.c or L.d selected from $C(CH_3)_2CH_2Ph$ and mono amino menthane or the structure





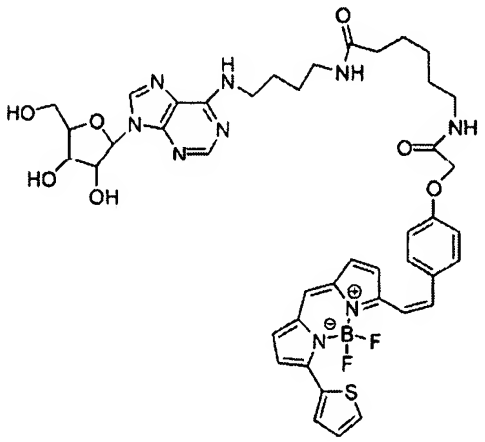
or Rd^2 comprises the following OH substituted aryl structure wherein linking functionality J_L is shown as amine, Ld is as hereinabove defined and includes J_T which is amine:



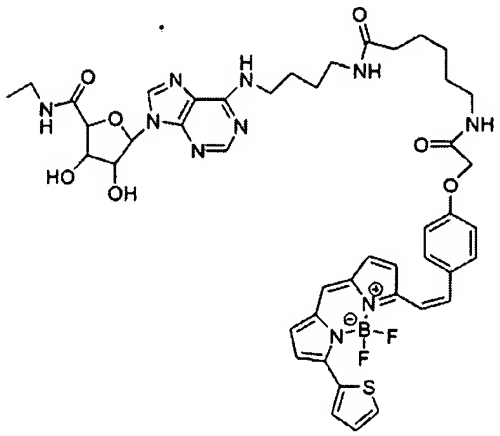
90. (Cancelled).

91. (Previously Presented) Compound as claimed in Claim 64 wherein Fl is selected from BODIPY™ 630/650 6-(((4,4-difluoro-5-(2-thienyl)-4-bora-3a,4a-diaza-*s*-indacene-3-yl)styryloxy)acetyl and analogues thereof including BODIPY™ 630/650-X 6-(((4,4-difluoro-5-(2-thienyl)-4-bora-3a,4a-diaza-*s*-indacene-3-yl)styryloxy)acetyl)aminohexanoyl.

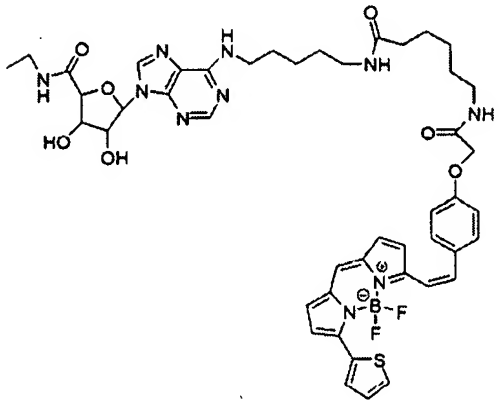
92. (Previously presented) Compound as given in the following structures wherein any optically active fluorescent ligand is present as a racemate or as one of its optically active isomers:



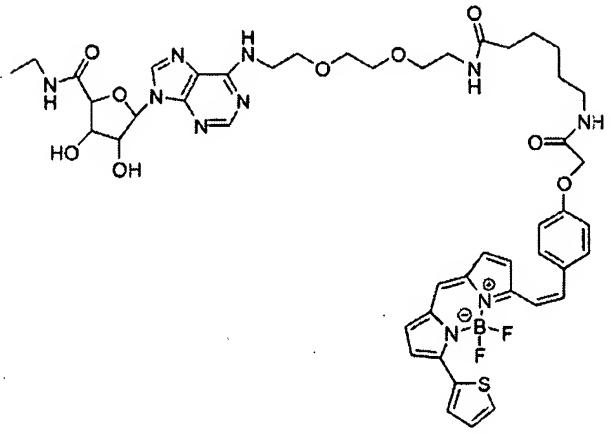
ABA-BY630



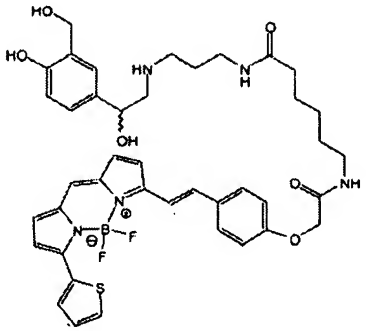
ABEA-BY630



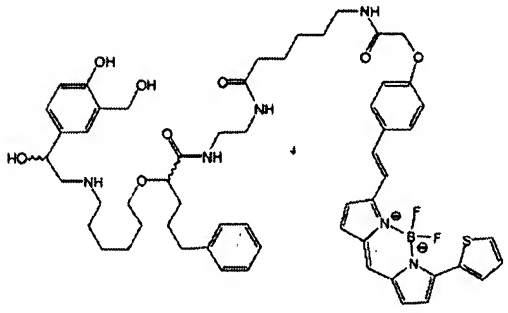
APEA-BY 630



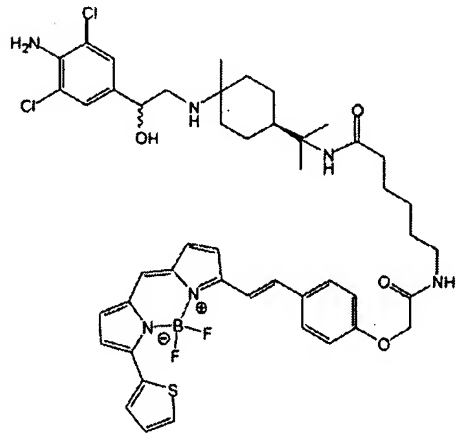
ABIPEA – BY630



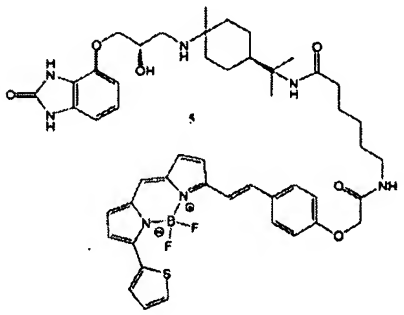
And Salmeterol derivative – BY 630/650



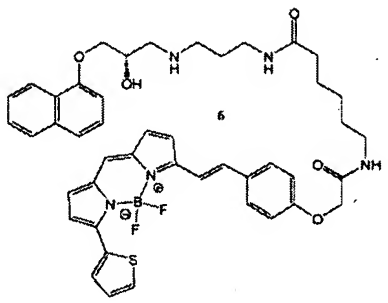
Salmeterol BY 630/650



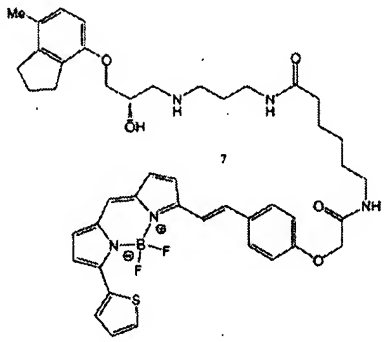
Clenbuterol BY 630/650



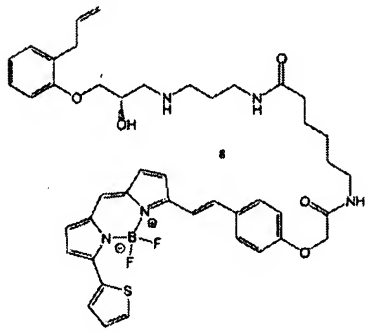
CGP12177-BY 630/650



Propranolol BY630/650



ICI118551-BY630/650



Alprenolol-BY630/650

and wherein the compound comprises pharmacological activity as a fluorescent GPCR ligand agonist or fluorescent GPCR ligand antagonist for receptor binding and activation or inhibition.

93-96. (Cancelled).

97. (Withdrawn) Process for the preparation of a library as claimed in Claim 59, wherein reactive groups Y_{Lig}, Y_L, Y_T have suitable reactive group functionalities for linking by addition or addition – elimination reaction.

98. (Withdrawn) Process for the preparation of a compound as claimed in Claim 60, wherein reactive groups Y_{Lig}, Y_L, Y_T have suitable reactive group functionalities for linking by addition or addition – elimination reaction.

99. (Previously presented) Compound as claimed in Claim 64 wherein a GPCR ligand is selected from any compound which is effective as an agonist or antagonist for an adenosine receptor, a beta-adrenoceptor, a muscarinic receptor, a histamine receptor, an opiate receptor, a cannabinoid receptor, a chemokine receptor, an alpha-adrenoceptor, a GABA receptor, a prostanoid receptor, a 5-HT (serotonin) receptor, an excitatory aminoacid receptor (glutamate), a dopamine receptor, a protease-activating receptor, a neurokinin receptor, an angiotensin receptor, an oxytocin receptor, a leukotriene receptor, a nucleotide receptor (purines

and pyrimidines), a calcium-sensing receptor, a thyroid-stimulating hormone receptor, a neurotensin receptor, a vasopressin receptor, an olfactory receptor, a nucleobase receptor (adenosine), a lysophosphatidic acid receptor, a sphingolipid receptor, a tyramine receptor (trace amines), a free-fatty acid receptor and a cyclic nucleotide receptor;

or wherein Lig is selected from

a) xanthine like structures including theophylline, caffeine, theobromine, dyphilline, enprofylline; or fused biaryl structures including papaverine, dihydroquinilones, cilostamide, dipyridamole or vinpocetine; and analogues thereof;

b) adenosine like structures including ADAC, NECA and analogues thereof;

c) ethanolamine like structures including salmeterol, salbutamol, terbutaline, quinprenaline, labetalol, sotalol, bambuterol, fenoterol, reprotolol, tulobuterol, clenbuterol and analogues thereof;

d) oxypropanolamine like structures including CGP12177, propranolol, practolol, acebutalol, betaxolol, ICI 118551, alprenolol, celiprolol (celectol), metoprolol (betaloc), CGP20712A, atenolol, bisoprolol, misaprolol, carvedilol, bucindolol, esmolol, nadolol, nebivolol, oxprenolol, xamoterol, pindolol, timolol and analogues thereof.

100. (Cancelled).

101. (Previously presented) The compound of Claim 64 wherein Lig and the compound of formula I or I' are selected from a GPCR ligand agonist or activator of GPCR

receptor binding or functionality.

102. (Previously presented) The compound of Claim 64 which is an agonist which maintains its binding affinity and functional activity on linking or when linked to fluorescent moiety Fl.

103. (Previously Presented) The compound of Claim 64 which has affinity such that it binds semi-permanently or transiently and remains bound when unbound ligand is washed away.

104. (Previously Presented) The compound of Claim 64 wherein L is selected from a short, medium or long chain moiety and prevents loss of affinity of ligand by distancing the Fl moiety from the Lig moiety, preventing interference with GPCR receptor binding.

105. (Previously Presented) The compound of Claim 64 wherein J_{Lm} L J_{Tm} comprises a polypeptide, peptide or polyether.

106. (Cancelled)

107. (Previously Presented) The compound of Claim 64 wherein L is selected from a short, medium or long chain moiety and prevents loss of affinity of ligand by distancing the Fl

moiety from the Lig moiety, preventing interference with GPCR receptor binding.

108. (Cancelled)

109. (Previously Presented) The compound of Claim 64, having verified pharmacology for binding to or inhibition of a GPCR receptor including measure of affinity or inhibition.

110. (Previously Presented) The compound of Claim 64 having verified pharmacological properties defined in terms of cells expressing a GPCR receptor as hereinbefore defined and given as the Inhibition or Antagonism of receptor binding or of receptor functionality together with a value for the Inhibition (pK_B) or Antagonism (pK_I) binding constants, and optionally together with fluorescent images of the pharmacological binding in single living cells illustrating the defined inhibition or antagonism, which are determined by virtue of its Spectral Properties including Excitation Max and Emission Max, Fluorescence Lifetime and Emission quantum yield.

111. (Previously Presented) The compound of Claim 64 having verified pharmacological properties defined in terms of EC_{50} values for agonist stimulated – or pK_i values for antagonism of agonist stimulated second messenger generation.

112. (Previously Presented) The compound of Claim 111 wherein pharmacology is defined in terms of a cell or protein wherein the cell expresses a GPCR or the protein is a GPCR.

113. (Previously Presented) The compound of Claim Claim 64 wherein pharmacological properties are given as EC_{50} values for agonist stimulated – or pK_i values for antagonism of agonist stimulated second messenger generation.

114. (Previously Presented) The compound of Claim 110 wherein spectral properties and fluorescent images are derived using the techniques of confocal microscopy or fluorescence correlation spectroscopy.

115. – 117. (Cancelled)

118. (Previously Presented) The compound of Claim 64, for GPCR binding and measuring fluorescence with time, in both time and concentration dependent manner, wherein the compound shows low background fluorescence.

119. (Cancelled).

120. (Previously Presented) The compound of Claim 64, for use in receptor binding or inhibition, and visualisation by contacting the compound, wherein Fl is a red dye, with a sample in manner to facilitate binding or inhibition thereof, and detecting changes in fluorescence or location thereof by detecting a change in the intensity, excitation or emission wavelength distribution of fluorescence (single or multi photon).

121. (Cancelled).

122. (Previously Presented) The compound of Claim 64,

with the *proviso* that

a) when Lig is 8-[4-[(2-aminoethyl)- aminocarbonylmethyloxy]phenyl]-1,3-dipropylxanthine, whereby in Lig.a when each of $R.a^1$ and $R.a^2$ is propyl, $R.a^3$ is H and $R.a^4$ is –Ph-$OCH_2CONH(CH_2)_2NH$-, and L is a single bond Fl is not 6-(((4,4-difluoro-5-(2-thienyl)-4-bora-3a,4a-diaza-*s*-indacene-3-yl)styryloxy)acetyl)aminohexanoyl, and

b) when Lig is N6-(4-Aminobutyl)-5'-ethylamino-5'-oxo-5'-deoxyadenosine, whereby m is 4 and L is a single bond Fl is not 6-(((4,4-difluoro-5-(2-thienyl)-4-bora-3a,4a-diaza-*s*-indacene-3-yl)styryloxy)acetyl)aminohexanoyl.

123-124. (Cancelled)

125. (Previously Presented) A fluorescent ligand or salt thereof as claimed in Claim 64

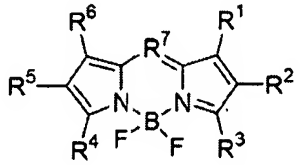
wherein the fluorescence modifying function is heteroaryl and wherein Fl includes an alkenyl substituent linked to one or more of an aryl, or carbonyl group

126. (Previously Presented) A fluorescent ligand or salt thereof as claimed in Claim 64 wherein Fl includes an alkenyl substituent linked via an aryloxymethylene to an end carbonyl.

127. (Previously Presented) A fluorescent ligand or salt thereof as claimed in Claim 64 wherein Fl includes an aryl alkenyl aryl group.

128. (Previously presented) A fluorescent ligand or salt thereof as claimed in Claim 64 wherein Fl is of the formula -Fl^1:

Fl^1 dipyrromethene borondifluoride analogues including any of its possible linking configurations or sites:



Wherein any or each of R^1 to R^7, or a ring atom comprise a linking site or functionality J as hereinbefore defined

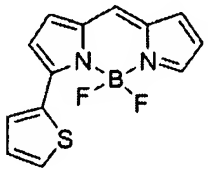
R7 is N or C-R8;

Substituents R^1, R^2, R^3, R^4, R^5, R^6 and R^8 which may be the same or different are H, halogen,

nitro, sulfo, cyano, alkyl, perfluoroalkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, arylalkyl, or acyl wherein the alkyl portions of each contain fewer than 20 carbons; or substituted or unsubstituted aryl or heteroaryl; and combinations thereof; and any or all of $R^{2,3}$ to $R^{4,5}$ is heteroaryl.

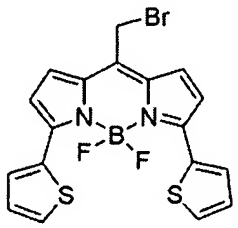
129. (Previously presented) A fluorescent ligand or salt thereof as claimed in Claim 128 wherein R^4 is pyrrole, thienyl or furan.

130. (Currently amended) A fluorescent ligand or salt thereof as claimed in Claim 64 wherein Fl comprises Fl.A2 and heteroaryl analogues thereof, including any of its possible linking configurations or sites:

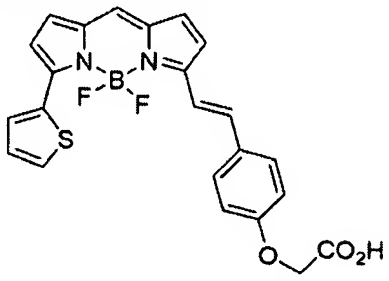


131. (Currently amended) A fluorescent ligand or salt thereof as claimed in Claim 64 wherein Fl comprises or is derived from BODIPY 630/650 and hetereoaryl analogues thereof or BODIPY 630/650 methyl bromide including any of their ~~its~~ possible linking configurations or sites:

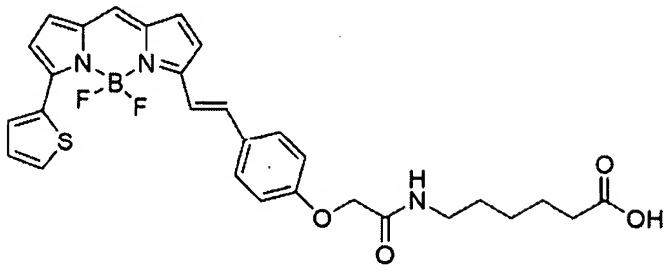
BODIPY 630/650 methyl bromide



BODIPY 630/650



BODIPY 630/650 X



132. (New) A compound of formula I

$$(Lig\,J_L)_m\ L(J_TTag)_m(J_TL(J_LLig)_m)_p$$

or salt thereof wherein an optically active ligand is present as a racemate or as one of its optically active isomers

comprising ligand moiety Lig linked to tag moiety Tag via linker moiety L at linking site or linking functionality J_T and J_L

wherein Lig is a non-peptide GPCR ligand, wherein the Lig comprises pharmacological activity as an agonist or antagonist for GPCR receptor binding and activation or inhibition

L is selected from a saturated or unsaturated single or double bond, -O-, -S-, amine, COO-, amide, -NN- hydrazine; and saturated or unsaturated, substituted or unsubstituted $C_{1\text{-}600}$ branched or straight chain aliphatic, aromatic, alicyclic and combinations thereof, any of which may comprise one or more heteroatoms selected from N, O, S, P, wherein optional substituents are selected from any C_1.

$_{20}$ aliphatic, aromatic or alicyclic substituents any of which may comprise one or more heteroatoms as hereinbefore defined, hydroxy, thiol, halo, amine, hydrazine, oxo, cyano and carbonyl and combinations thereof, and L is monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300;

m are each independently selected from a whole number integer from 1 to 3;

p is 0 to 3

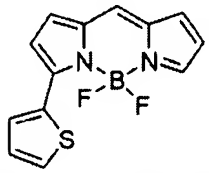
wherein -Tag is a fluorophore entity -Fl, whereby the compound is of formula I'

$(LigJ_L)_m$ L $(J_T Fl)_m (J_T L (J_L Lig)_m)_p$,

wherein Fl is selected from 4,4-difluoro-4-bora-3a,4a-diaz-s-indacene dyes and includes a substituent –t- which is a heteroaryl or alkenyl group which performs a fluorescence modifying function which shifts the fluorescence to the red part of the spectrum and raises the absorption max value,

wherein the compound of formula I or I' retains pharmacological activity as a fluorescent GPCR ligand agonist or fluorescent GPCR ligand antagonist for GPCR receptor binding and activation or inhibition, and wherein the compound has low fluorescence in aqueous solution and increased fluorescence upon GPCR binding.

133. (New) The compound of claim 132, wherein FL comprises Fl.A2 including any of its possible linking configurations or sites:



Fl.A2 and heteroaryl analogues thereof.

134. (New) The compound of claim 132, wherein Fl is selected from Bodipy™ (4,4-difluoro-4-bora-3a,4a-diaz-s-indacene) 630/650 and analogues thereof.

135. (New) The compound of claim 134, wherein the analogues of Bodipy 630/650 are heteroaryl analogues.